

10/509,082

~~10/513699~~

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NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
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NEWS 6 JAN 22 CA/CAPLUS updated with revised CAS roles
NEWS 7 JAN 22 CA/CAPLUS enhanced with patent applications from India
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NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in multiple databases
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
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NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000 to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 MAR 30 INPADOCDB will replace INPADOC on STN
NEWS 24 APR 02 JICST-EPLUS removed from database clusters and STN

NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.

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FILE 'HOME' ENTERED AT 14:54:39 ON 23 APR 2007

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COST IN U.S. DOLLARS

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ENTRY

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0.21

0.21

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STRUCTURE FILE UPDATES: 20 APR 2007 HIGHEST RN 931582-00-2

DICTIONARY FILE UPDATES: 20 APR 2007 HIGHEST RN 931582-00-2

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=>

Uploading C:\Program Files\Stnexp\Queries\10509052new.str

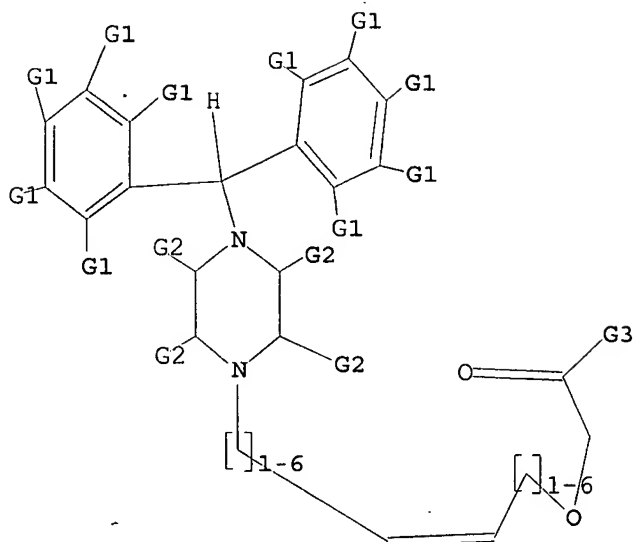
L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR

10/513699



G1 C,H,O,X

G2 C,H,O,S,N

G3 C,O,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 14:55:25 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 3 TO ITERATE

100.0% PROCESSED 3 ITERATIONS

1 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 3 TO 163

PROJECTED ANSWERS: 1 TO 80

L2 1 SEA SSS SAM L1

=> s l1 full

FULL SEARCH INITIATED 14:55:32 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 63 TO ITERATE

100.0% PROCESSED 63 ITERATIONS

29 ANSWERS

SEARCH TIME: 00.00.01

L3 29 SEA SSS FUL L1

=> file caplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

172.10

172.31

FILE 'CAPLUS' ENTERED AT 14:55:40 ON 23 APR 2007

<12/04/2007>

Erich Leese

10/513699

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=> s l3 full

L4 2 L3

=> d ibib abs hitstr

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2003:777520 CAPLUS

DOCUMENT NUMBER: 139:292269

TITLE: Preparation of 4-(diarylmethyl)-1-piperazine derivatives as antihistaminics

INVENTOR(S): Midha, Ajay Sohanlal; Chokshi, Hemant Ashvinbhai; Chitturi, Trinadha Rao; Thennati, Rajamannar

PATENT ASSIGNEE(S): Sun Pharmaceutical Industries Limited, India

SOURCE: PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

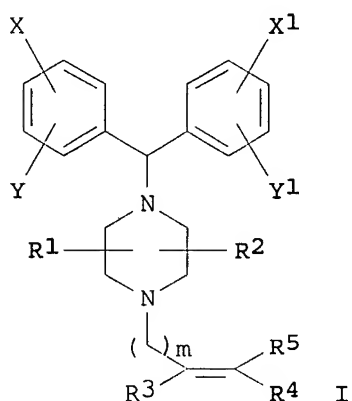
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003079970	A2	20031002	WO 2003-IN89	20030327
WO 2003079970	A3	20031106		
WO 2003079970	A8	20050324		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
IN 2002MU00302	A	20050304	IN 2002-MU302	20020327

CA 2480367	A1	20031002	CA 2003-2480367	20030327
AU 2003231921	A1	20031008	AU 2003-231921	20030327
EP 1487810	A2	20041222	EP 2003-744972	20030327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008913	A	20050104	BR 2003-8913	20030327
US 2005107393	A1	20050519	US 2003-509052	20030327
CN 1649856	A	20050803	CN 2003-809564	20030327
JP 2005527533	T	20050915	JP 2003-577803	20030327
NZ 535476	A	20060331	NZ 2003-535476	20030327
ZA 2004007731	A	20060222	ZA 2004-7731	20040923
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			WO 2003-IN89	W 20030327
OTHER SOURCE(S):			MARPAT 139:292269	
GI				



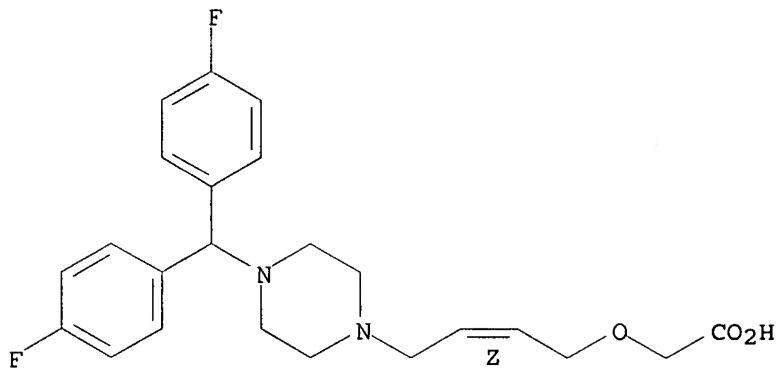
AB The title compds. of formula (I) [wherein X, Y, X1, Y1 = H, halogen, (un)substituted linear, branched, or cyclic alkyl, aryl, alkyloxy, haloalkyl; R1, R2, R3, R4 = H, (un)substituted linear, branched, or cyclic alkyl, aryl, heteroaryl groups or aralkyl groups, heterocycles containing one or more of hetero atoms selected from N, S, and O, (un)substituted C2-6 alkenyl or alkynyl; wherein R1 and R2 on the piperazinyl moiety are either syn or anti to each other and optionally R3 and R4 together with the carbons to which they are attached form a monocyclic saturated aryl or substituted aryl or heteroaryl or substituted heteroaryl ring containing one or more hetero atoms selected from N, S and O with a ring size ranging from 3 to 6 with a proviso that when R3 and R4 together do not form part of a ring they may exist in either E or Z configuration; R5 = (CH₂)_nOCH₂CO-Z (wherein n = 1-6; Z = OH, OR, NRR', N(OR)R', N(R)N(R)R', etc. and wherein R, R' = H, (un)substituted linear, branched or cycloalkyl, aryl, heteroaryl, aralkyl, heterocycles containing one or more of hetero atoms selected from N, S, and O, (un)substituted C2-6 alkenyl or alkynyl); m = 1 to 6] and pharmaceutically acceptable salts thereof are prepared. These compds. are useful for treating histamine-mediated diseases. Thus, to a stirred solution of 4-[4-[bis(4-fluorophenyl)methyl]piperazin-1-yl]-(Z)-but-2-en-1-ol > (97.0 g, 0.271 mol) and potassium tert-butoxide (54.7 g, 0.487 mol) in anhydrous tert-butanol (776 mL), preheated at 60-65° for 1 h under nitrogen atmospheric, was added dry sodium chloroacetate (63 g, 0.541 mol) and then refluxed for further 5 h, and concentrated under reduced pressure at below 60° until tert-butanol was completely removed to give, after workup and silica gel chromatog.,

[4-[4-[bis(4-fluorophenyl)methyl]piperazin-1-yl]-(Z)-but-2-enyloxy]acetic acid (II). II.2HCl and [4-(4-Benzhydrylpiperazin-1-yl)-(Z)-but-2-enyloxy]acetic acid dihydrochloride inhibited the histamine-stimulated contraction of isolated guinea pig ileum with IC₅₀ of $2.17 \times 10^{-7} \pm 4.23 \times 10^{-7}$ and $1.30 \times 10^{-7} \pm 4.17 \times 10^{-7}$ mol, resp.

IT 607736-71-0P 607736-72-1P 607736-73-2P
 607736-74-3P 607736-75-4P 607736-76-5P
 607736-77-6P 607736-78-7P 607736-79-8P
 607736-80-1P 607736-81-2P 607736-84-5P
 607736-85-6P 607736-86-7P 607736-87-8P,
 [[4-[4-[Bis(4-fluorophenyl)methyl]piperazin-1-yl]-(Z)-but-2-enyl]oxy]acetic acid 607736-88-9P, [[4-[4-[(4-Chlorophenyl)phenylmethyl]piperazin-1-yl]-(Z)-but-2-enyl]oxy]acetic acid 607736-89-0P, [[4-(4-Benzhydrylpiperazin-1-yl)-(Z)-but-2-enyl]oxy]acetic acid 607736-90-3P, [[4-[4-[Bis(2,4-difluorophenyl)methyl]piperazin-1-yl]-(Z)-but-2-enyl]oxy]acetic acid 607736-91-4P, [[4-[4-[Bis(4-chlorophenyl)methyl]piperazin-1-yl]-(Z)-but-2-enyl]oxy]acetic acid 607736-92-5P,
 [[4-[4-[Bis(4-fluorophenyl)methyl]piperazin-1-yl]-(Z)-but-2-enyl]oxy]acetic acid methyl ester 607736-93-6P,
 [[4-[4-[Bis(4-fluorophenyl)methyl]piperazin-1-yl]-(Z)-but-2-enyl]oxy]acetic acid ethyl ester 607736-94-7P,
 [[4-[4-[Bis(4-fluorophenyl)methyl]piperazin-1-yl]-(Z)-but-2-enyl]oxy]acetic acid isopropyl ester 607736-95-8P,
 [[4-[4-[(4-Chlorophenyl)phenylmethyl]piperazin-1-yl]-(Z)-but-2-enyl]oxy]acetic acid isopropyl ester 607736-96-9P,
 [[4-[4-[(4-Chlorophenyl)phenylmethyl]piperazin-1-yl]-(Z)-but-2-enyl]oxy]acetic acid methyl ester 607736-97-0P,
 [[4-[4-[(4-Chlorophenyl)phenylmethyl]piperazin-1-yl]-(Z)-but-2-enyl]oxy]acetic acid ethyl ester 607737-00-8P,
 [[4-[4-[Bis(4-fluorophenyl)methyl]piperazin-1-yl]-(E)-but-2-enyl]oxy]acetic acid 607737-01-9P, [[4-[4-[(4-Chlorophenyl)phenylmethyl]piperazin-1-yl]-(E)-but-2-enyl]oxy]acetic acid
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of 4-(diarylmethyl)-1-piperazine derivs. as antihistaminics for treatment of histamine-stimulated diseases)
 RN 607736-71-0 CAPLUS
 CN Acetic acid, [[(2Z)-4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

10/513699

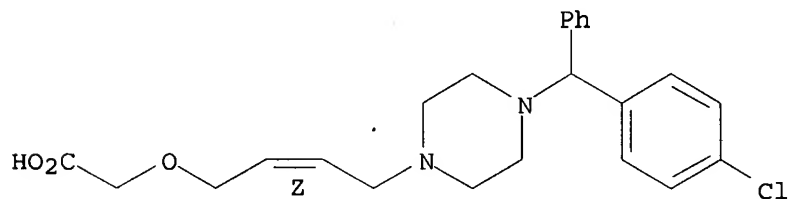


● 2 HCl

RN 607736-72-1 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-2-butenyl]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

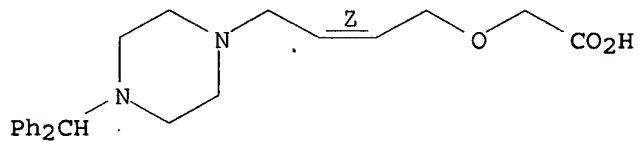


● 2 HCl

RN 607736-73-2 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-(diphenylmethyl)-1-piperazinyl]-2-butenyl]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



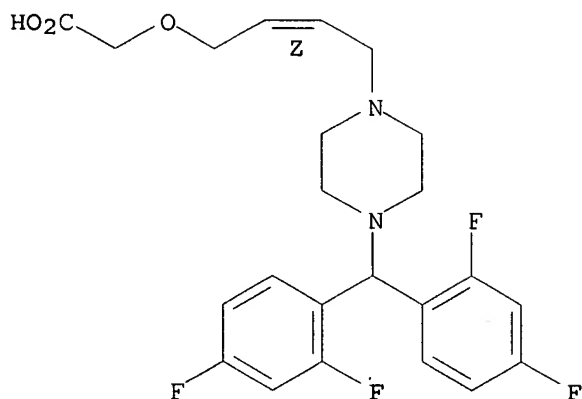
● 2 HCl

RN 607736-74-3 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[bis(2,4-difluorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

10/513699

Double bond geometry as shown.

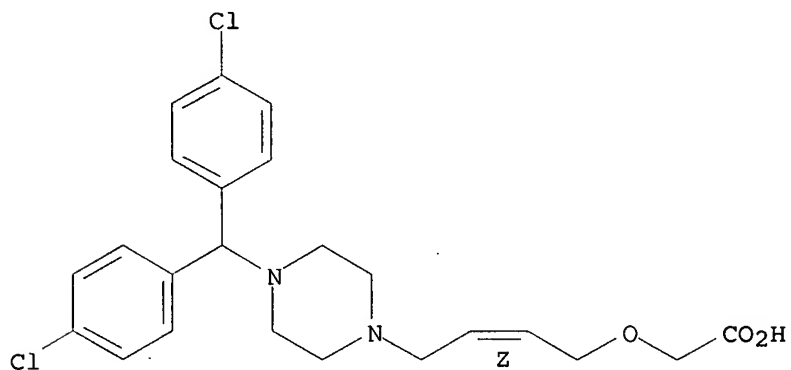


● 2 HCl

RN 607736-75-4 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[bis(4-chlorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



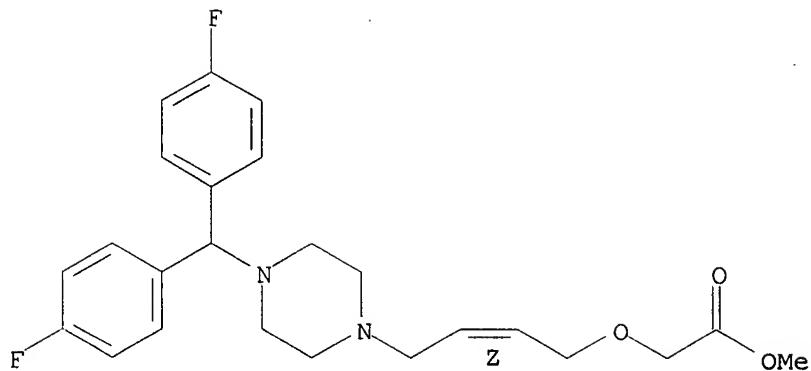
● 2 HCl

RN 607736-76-5 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

10/513699

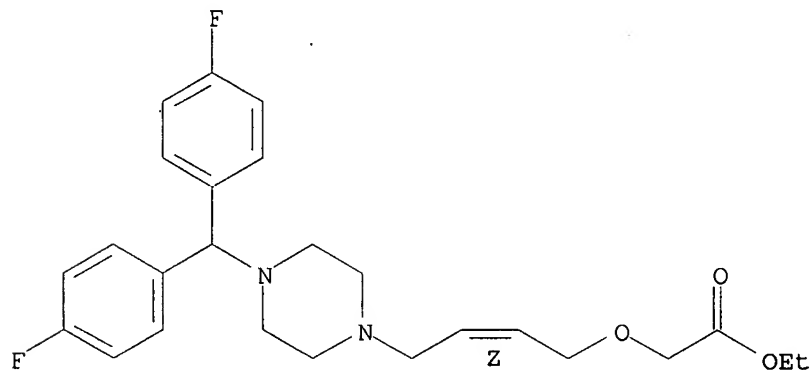


● 2 HCl

RN 607736-77-6 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



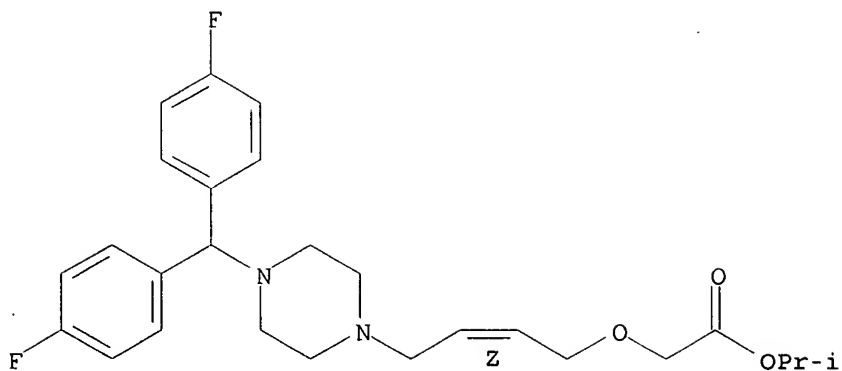
● 2 HCl

RN 607736-78-7 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]-, 1-methylethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

10/513699

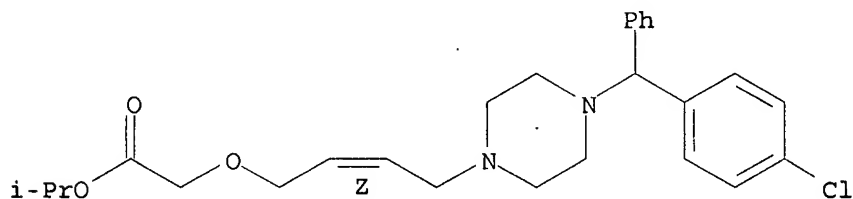


● 2 HCl

RN 607736-79-8 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-2-butenyl]oxy]-, 1-methylethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

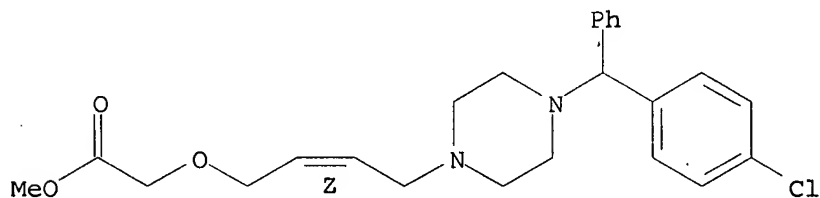


● 2 HCl

RN 607736-80-1 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-2-butenyl]oxy]-, methyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



● 2 HCl

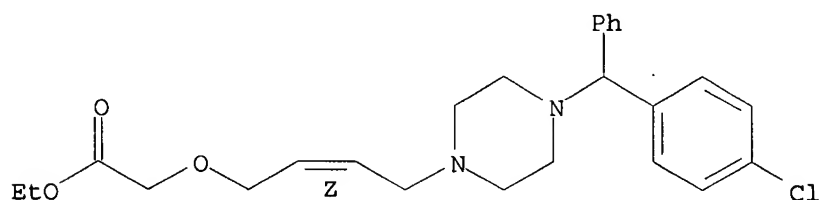
RN 607736-81-2 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-2-

10/513699

butenyl]oxy]-, ethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

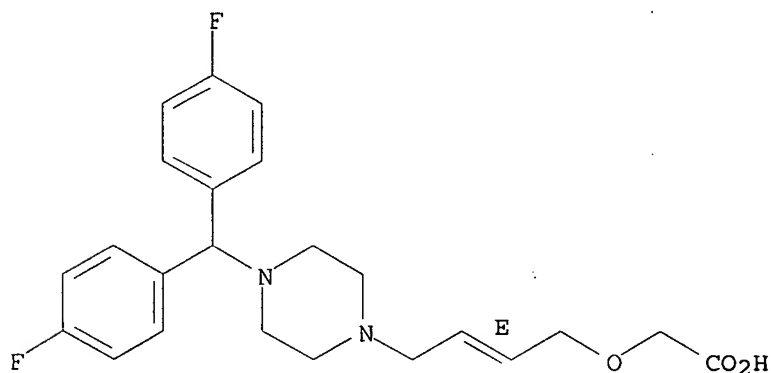


● 2 HCl

RN 607736-84-5 CAPLUS

CN Acetic acid, [[[2E)-4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.

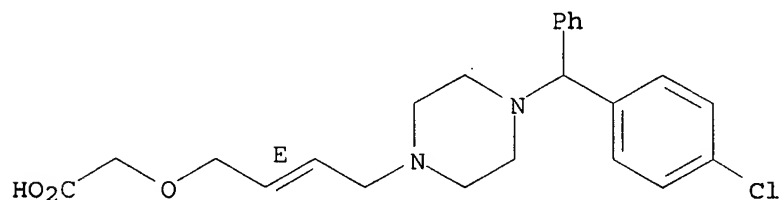


● 2 HCl

RN 607736-85-6 CAPLUS

CN Acetic acid, [[[2E)-4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-2-butenyl]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

Double bond geometry as shown.



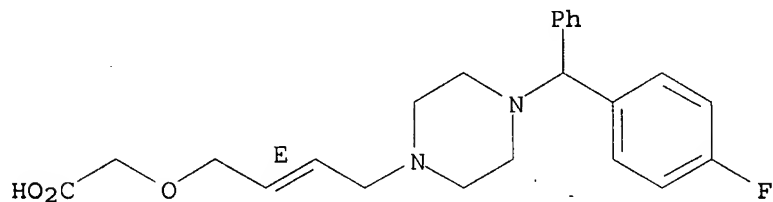
● 2 HCl

10/513699

RN 607736-86-7 CAPLUS

CN Acetic acid, [[[2E)-4-[4-[(4-fluorophenyl)phenylmethyl]-1-piperazinyl]-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

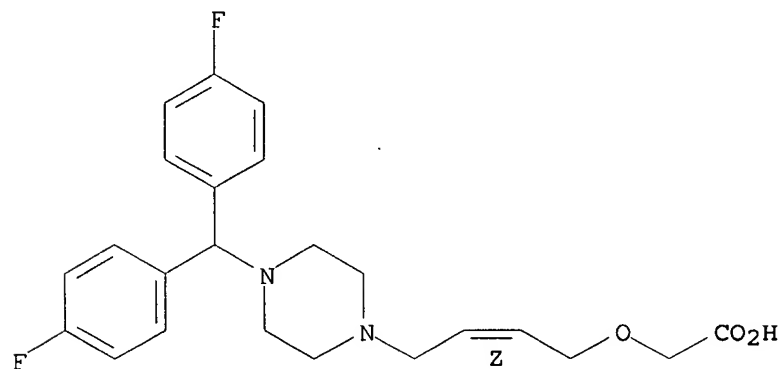
Double bond geometry as shown.



RN 607736-87-8 CAPLUS

CN Acetic acid, [[[2Z)-4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

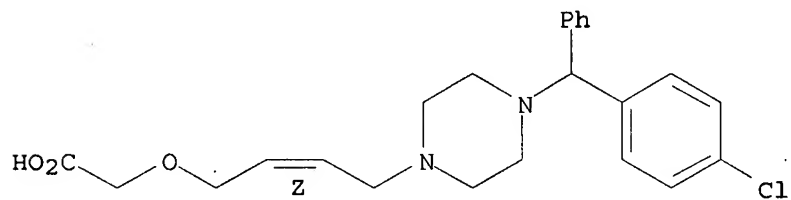
Double bond geometry as shown.



RN 607736-88-9 CAPLUS

CN Acetic acid, [[[2Z)-4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

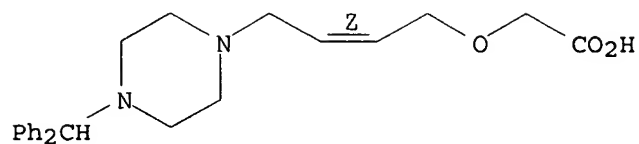


RN 607736-89-0 CAPLUS

CN Acetic acid, [[[2Z)-4-[4-(diphenylmethyl)-1-piperazinyl]-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

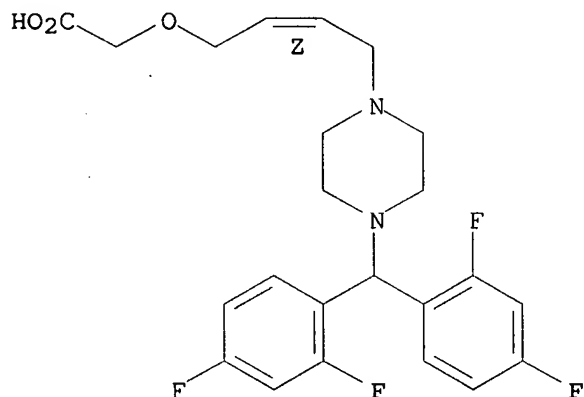
10/513699



RN 607736-90-3 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[bis(2,4-difluorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

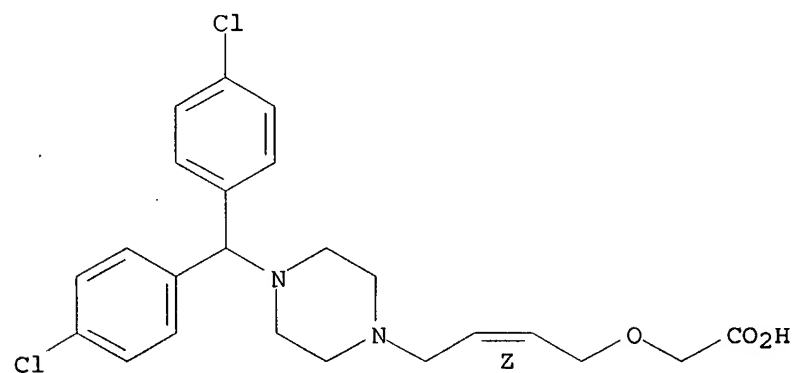
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RN 607736-91-4 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[bis(4-chlorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

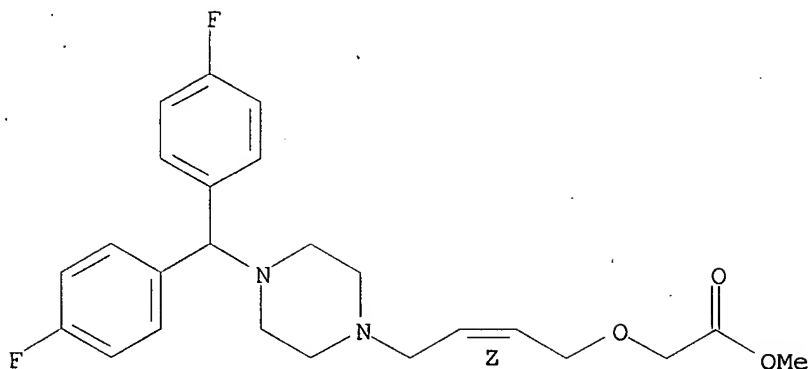


RN 607736-92-5 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

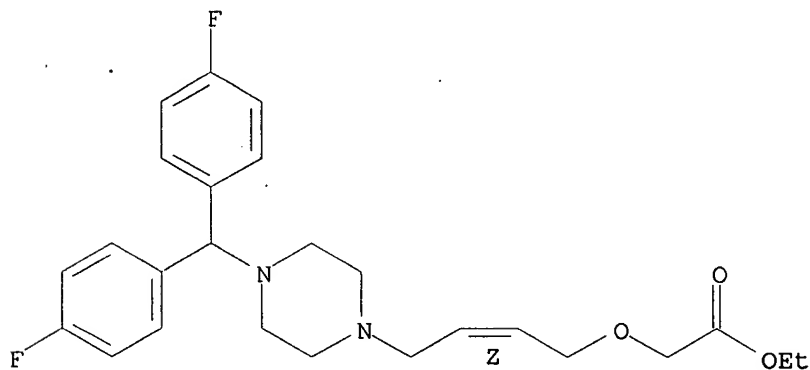
10/513699



RN 607736-93-6 CAPLUS

CN Acetic acid, [[[(2Z)-4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

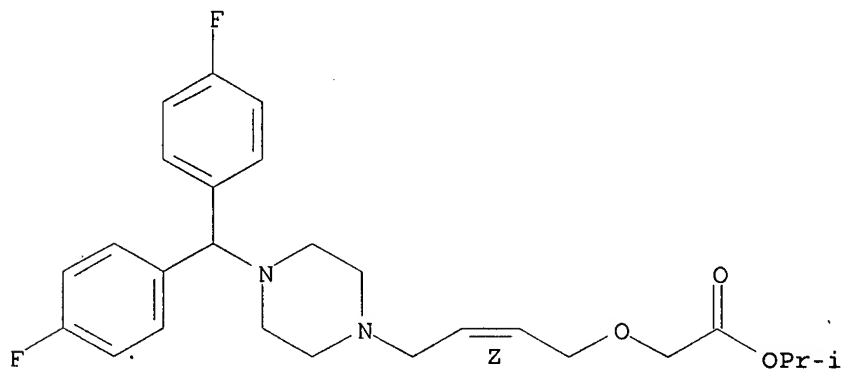
Double bond geometry as shown.



RN 607736-94-7 CAPLUS

CN Acetic acid, [[[(2Z)-4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.



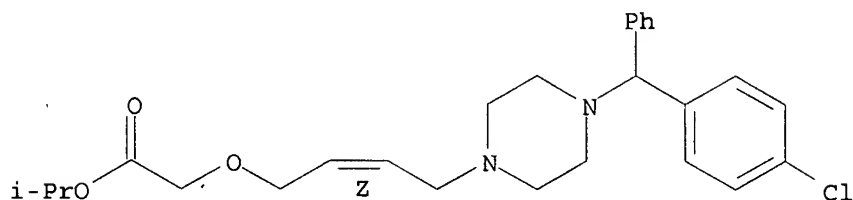
RN 607736-95-8 CAPLUS

CN Acetic acid, [[[(2Z)-4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-2-butenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

10/513699

butenyl]oxy]-, 1-methylethyl ester (9CI) (CA INDEX NAME)

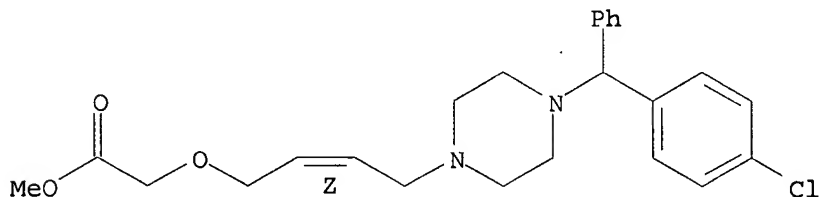
Double bond geometry as shown.



RN 607736-96-9 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-2-butenyl]oxy]-, methyl ester (9CI) (CA INDEX NAME)

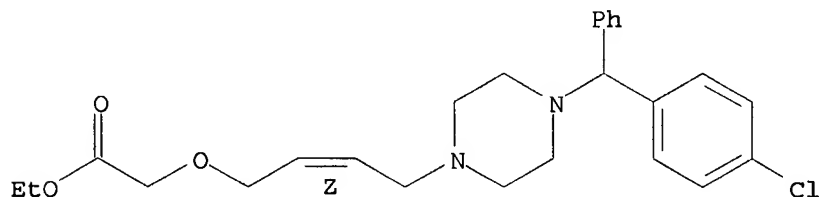
Double bond geometry as shown.



RN 607736-97-0 CAPLUS

CN Acetic acid, [[(2Z)-4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-2-butenyl]oxy]-, ethyl ester (9CI) (CA INDEX NAME)

Double bond geometry as shown.

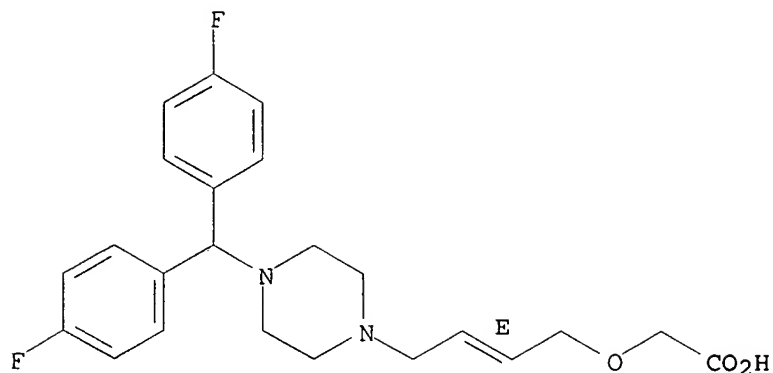


RN 607737-00-8 CAPLUS

CN Acetic acid, [[(2E)-4-[4-[bis(4-fluorophenyl)methyl]-1-piperazinyl]-2-butenyl]oxy]- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

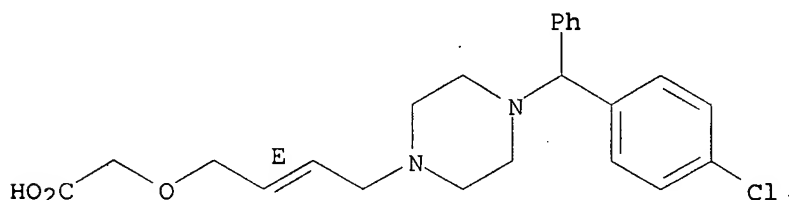
10/513699



RN 607737-01-9 CAPLUS

CN Acetic acid, [[[2E)-4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-2-butenyl]oxy]-(9CI) (CA INDEX NAME)

Double bond geometry as shown.



=> d ibib abs hitstr 2

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 1995:933969 CAPLUS

DOCUMENT NUMBER: 124:8843

TITLE: Preparation of piperazine derivatives as allergy inhibitors

INVENTOR(S): Kuki, Masakatsu; Muneda, Yasuji; Imahori, Hidekazu; Oota, Yoichiro; Kawanishi, Kenji; Bonshihara, Yasuko; Iwakura, Bungetsu; Akimoto, Yoshinobu; Sakamoto, Hirohiko; Et, Al.

PATENT ASSIGNEE(S): Nippon Shoji Kk, Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 29 pp.

CODEN: JKXXAF

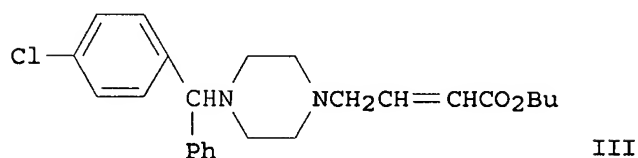
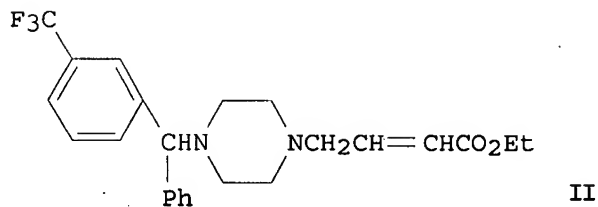
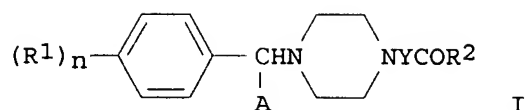
DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 07138230	A	19950530	JP 1993-283111	19931112
JP 3352184	B2	20021203		
PRIORITY APPLN. INFO.:			JP 1993-283111	19931112
OTHER SOURCE(S):	MARPAT	124:8843		
GI				



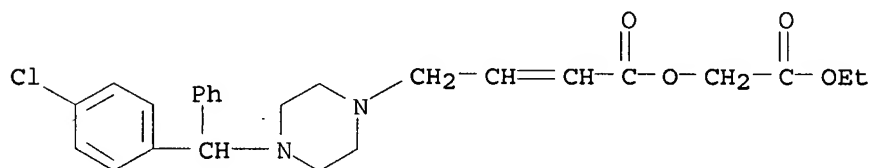
AB The title compds. I [R1 = alkyl, etc. R2 = OH, etc.; A = Ph, etc.; Y = alkylene having one or more double or triple bonds; n = 0 - 3] are prepared. The title compound II.2HCl at 10 mg/Kg orally gave 90.5% inhibition of passive cutaneous anaphylaxis reaction in rats, vs. 56.9 - 69.9% inhibition by ketotifen at 10 mg/Kg orally. The title compound III.2HCl at 10 mg/Kg orally gave 76.6% inhibition of passive cutaneous anaphylaxis reaction in rats.

IT 170627-15-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of piperazine derivs. as allergy inhibitors)

RN 170627-15-3 CAPLUS

CN 2-Butenoic acid, 4-[4-[(4-chlorophenyl)phenylmethyl]-1-piperazinyl]-, 2-ethoxy-2-oxoethyl ester, dihydrochloride (9CI) (CA INDEX NAME)



● 2 HCl